

09/22/2009

10/583,046K Yong Chu 01-08-2009 Partial scope

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Welcome to STN International! Enter x:x

LOGINID: ssptaylc1626

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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * * * * Welcome to STN International * * * * * * * * * * *

| | | | |
|------|----|--------|---|
| NEWS | 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | AUG 15 | CAOLD to be discontinued on December 31, 2008 |
| NEWS | 3 | OCT 07 | EPFULL enhanced with full implementation of EPC2000 |
| NEWS | 4 | OCT 07 | Multiple databases enhanced for more flexible patent number searching |
| NEWS | 5 | OCT 22 | Current-awareness alert (SDI) setup and editing enhanced |
| NEWS | 6 | OCT 22 | WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications |
| NEWS | 7 | OCT 24 | CHEMLIST enhanced with intermediate list of pre-registered REACH substances |
| NEWS | 8 | NOV 21 | CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present |
| NEWS | 9 | NOV 26 | MARPAT enhanced with FSORT command |
| NEWS | 10 | NOV 26 | MEDLINE year-end processing temporarily halts availability of new fully-indexed citations |
| NEWS | 11 | NOV 26 | CHEMSAFE now available on STN Easy |
| NEWS | 12 | NOV 26 | Two new SET commands increase convenience of STN searching |
| NEWS | 13 | DEC 01 | ChemPort single article sales feature unavailable |
| NEWS | 14 | DEC 12 | GBFULL now offers single source for full-text coverage of complete UK patent families |
| NEWS | 15 | DEC 17 | Fifty-one pharmaceutical ingredients added to PS |
| NEWS | 16 | JAN 06 | The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo |
| NEWS | 17 | JAN 07 | WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data |

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 13:38:08 ON 08 JAN 2009

FILE 'REGISTRY' ENTERED AT 13:38:22 ON 08 JAN 2009
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 JAN 2009 HIGHEST RN 1092924-90-7
DICTIONARY FILE UPDATES: 7 JAN 2009 HIGHEST RN 1092924-90-7

New CAS Information Use Policies, enter HELP USAGETERMS for details.

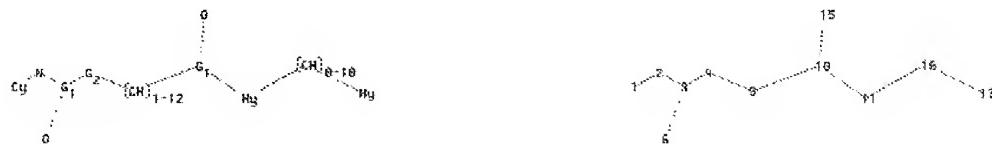
TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Documents and Settings\ychu\Desktop\Case\10583046\L10-01082009.str



chain nodes :

1 2 3 4 6 9 10 11 15 16 17

chain bonds :

1-2 2-3 3-4 3-6 4-9 9-10 10-11 10-15 11-16 16-17

exact/norm bonds :

1-2 2-3 3-4 3-6 4-9 9-10 10-11 10-15 11-16 16-17

G1:C,S

G2:O,N

Connectivity :

6:1 E exact RC ring/chain 15:1 E exact RC ring/chain

Match level :

1:Atom 2:CLASS 3:CLASS 4:CLASS 6:CLASS 9:CLASS 10:CLASS 11:Atom 15:CLASS
16:CLASS 17:Atom

Generic attributes :

1:

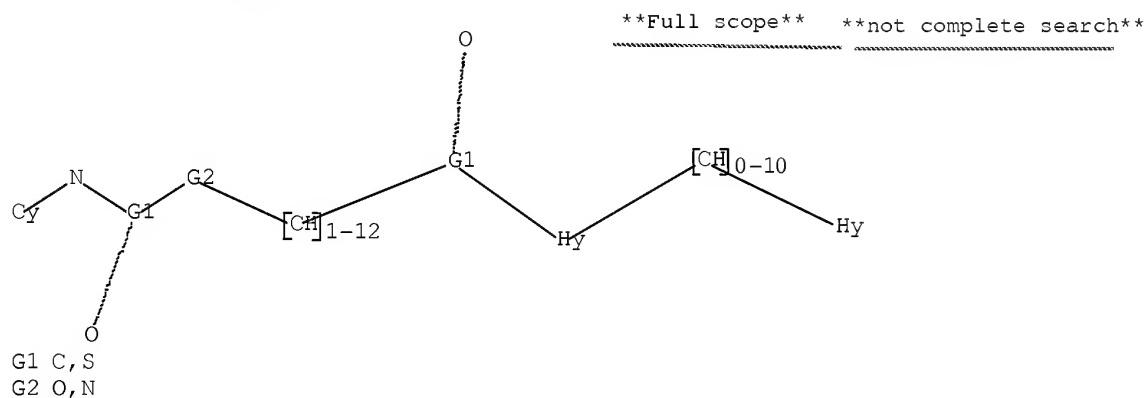
Saturation : Unsaturated

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 13:38:48 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 743519 TO ITERATE

0.3% PROCESSED 2000 ITERATIONS

0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 14822525 TO 14918235

PROJECTED ANSWERS: 0 TO 0

L2

0 SEA SSS SAM L1

=>
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chain nodes :
1 2 3 4 6 9 10 11 15 16 17
chain bonds :
1-2 2-3 3-4 3-6 4-9 9-10 10-11 10-15 11-16 16-17
exact/norm bonds :
1-2 2-3 3-4 3-6 4-9 9-10 10-11 10-15 11-16 16-17

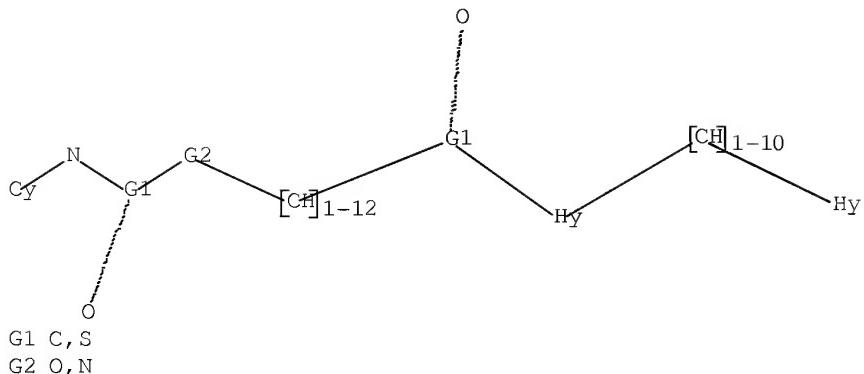
G1:C,S

G2:O,N

Connectivity :
6:1 E exact RC ring/chain 15:1 E exact RC ring/chain
Match level :
1:Atom 2:CLASS 3:CLASS 4:CLASS 6:CLASS 9:CLASS 10:CLASS 11:Atom 15:CLASS
16:CLASS 17:Atom
Generic attributes :
1:
Saturation : Unsaturated

L3 STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3 STR



Structure attributes must be viewed using STN Express query preparation.

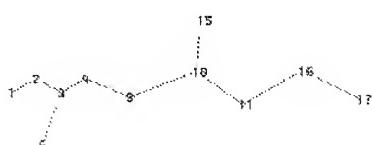
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=> s 13
SAMPLE SEARCH INITIATED 13:39:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 743519 TO ITERATE

0.3% PROCESSED      2000 ITERATIONS          0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS: 14822525 TO 14918235
PROJECTED ANSWERS:     0 TO      0

L4          0 SEA SSS SAM L3

=>
Uploading C:\Documents and Settings\ychu\Desktop\Case\10583046\L12.str
```



```
chain nodes :
1 2 3 4 6 9 10 11 15 16 17
chain bonds :
1-2 2-3 3-4 3-6 4-9 9-10 10-11 10-15 11-16 16-17
exact/norm bonds :
```

1-2 2-3 3-4 3-6 4-9 9-10 10-11 10-15 11-16 16-17

G1:C,S

G2:O,N

Connectivity :

6:1 E exact RC ring/chain 15:1 E exact RC ring/chain

Match level :

1:Atom 2:CLASS 3:CLASS 4:CLASS 6:CLASS 9:CLASS 10:CLASS 11:Atom 15:CLASS
16:CLASS 17:Atom

Generic attributes :

1:

Saturation : Unsaturated

Element Count :

Node 11: Limited

N,N1

Node 17: Limited

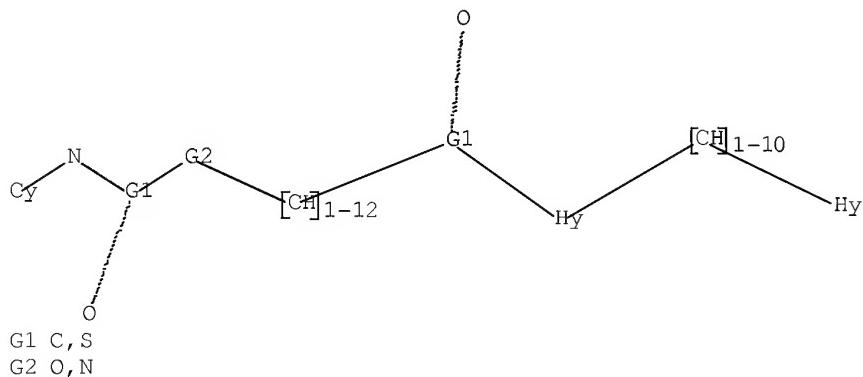
N,N1

L5 STRUCTURE UPLOADED

=> d

L5 HAS NO ANSWERS

L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15

SAMPLE SEARCH INITIATED 13:42:57 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 743519 TO ITERATE

0.3% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

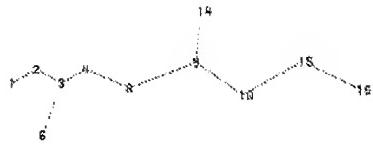
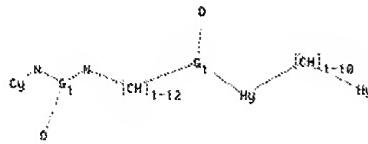
0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 14822525 TO 14918235
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=>

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chain nodes :
1 2 3 4 6 8 9 10 14 15 16
chain bonds :
1-2 2-3 3-4 3-6 4-8 8-9 9-10 9-14 10-15 15-16
exact/norm bonds :
1-2 2-3 3-4 3-6 4-8 8-9 9-10 9-14 10-15 15-16

G1:C,S

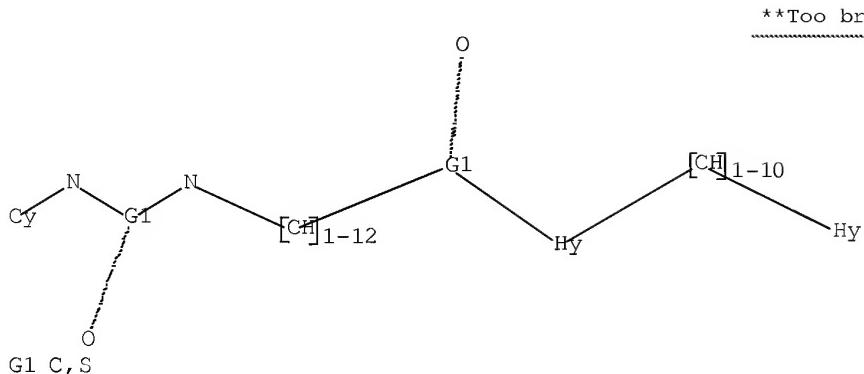
Connectivity :
6:1 E exact RC ring/chain 14:1 E exact RC ring/chain
Match level :
1:Atom 2:CLASS 3:CLASS 4:CLASS 6:CLASS 8:CLASS 9:CLASS 10:Atom 14:CLASS
15:CLASS 16:Atom
Generic attributes :
1:
Saturation : Unsaturated

Element Count :
Node 10: Limited
N,N1

Node 16: Limited
N,N1

L7 STRUCTURE UPLOADED

=> d
L7 HAS NO ANSWERS
L7 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l7
SAMPLE SEARCH INITIATED 13:44:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 54614 TO ITERATE

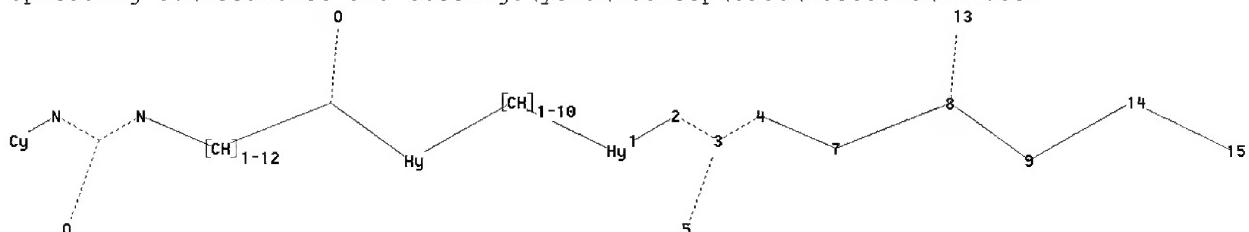
3.7% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1078333 TO 1106227
PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

=>
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chain nodes :
1 2 3 4 5 7 8 9 13 14 15
chain bonds :
1-2 2-3 3-4 3-5 4-7 7-8 8-9 8-13 9-14 14-15
exact/norm bonds :
1-2 2-3 3-4 3-5 4-7 8-9 8-13 9-14 14-15

exact bonds :
7-8

Connectivity :
5:1 E exact RC ring/chain 13:1 E exact RC ring/chain
Match level :
1:Atom 2:CLASS 3:CLASS 4:CLASS 5:CLASS 7:CLASS 8:CLASS 9:Atom 13:CLASS
14:CLASS
15:Atom
Generic attributes :
1:
Saturation : Unsaturated

Element Count :
Node 9: Limited
N,N1

Node 15: Limited
N,N1

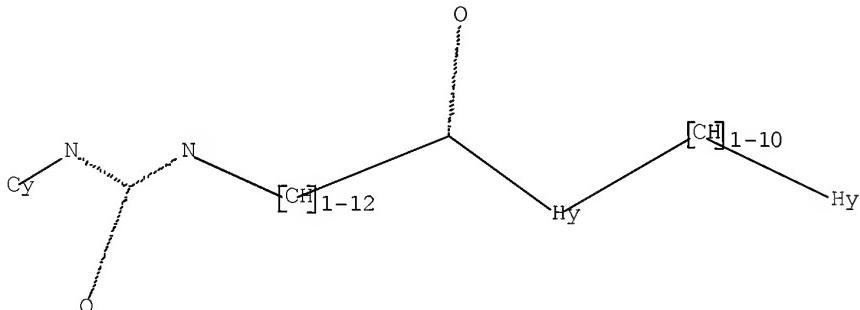
L9 STRUCTURE UPLOADED

=> d
L9 HAS NO ANSWERS
L9 STR

09-22-2009

*****Searched scope*****

*****Examined scope*****



Structure attributes must be viewed using STN Express query preparation.

=> s 19
SAMPLE SEARCH INITIATED 13:46:41 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 11396 TO ITERATE

17.6% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

1 ANSWERS

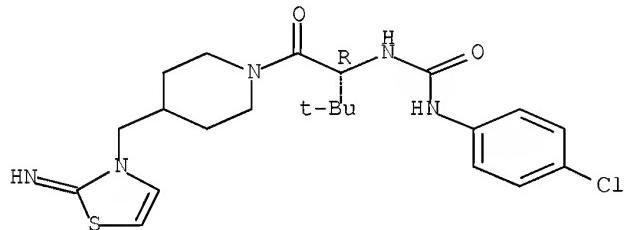
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 221522 TO 234318
PROJECTED ANSWERS: 1 TO 256

L10 1 SEA SSS SAM L9

=> d scan

L10 1 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
IN Urea, N-(4-chlorophenyl)-N'-(1R)-1-[[4-[(2-imino-3(2H)-thiazolyl)methyl]-
1-piperidinyl]carbonyl]-2,2-dimethylpropyl]-
MF C22 H30 Cl N5 O2 S

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l9 full

FULL SEARCH INITIATED 13:47:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 230231 TO ITERATE

100.0% PROCESSED 230231 ITERATIONS 32 ANSWERS
SEARCH TIME: 00.00.05

L11 32 SEA SSS FUL L9

=> file caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

| SINCE FILE
ENTRY | TOTAL
SESSION |
|---------------------|------------------|
| 193.08 | 193.30 |

FILE 'CAPLUS' ENTERED AT 13:47:48 ON 08 JAN 2009
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FILE COVERS 1907 - 8 Jan 2009 VOL 150 ISS 2
FILE LAST UPDATED: 7 Jan 2009 (20090107/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s 111
L12 6 L11

=====

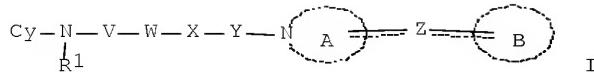
=> d ibib abs hitstr tot

L12 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:564638 CAPLUS Full-text
DOCUMENT NUMBER: 143:97382
TITLE: Preparation of urea derivatives as activated blood coagulation factor X (FXa) inhibitors
INVENTOR(S): Kubo, Keiji; Imaeda, Yasuhiro
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Instant application

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2005058823 | A1 | 20050630 | WO 2004-JP18717 | 20041215 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG | | | | |
| CA 2550012 | A1 | 20050630 | CA 2004-2550012 | 20041215 |
| EP 1695961 | A1 | 20060830 | EP 2004-807076 | 20041215 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | | | | |
| US 20070093501 | A1 | 20070426 | US 2006-583046 | 20060615 |
| PRIORITY APPLN. INFO.: | | | JP 2003-420031 | A 20031217 |
| | | | WO 2004-JP18717 | W 20041215 |

OTHER SOURCE(S): MARPAT 143:97382



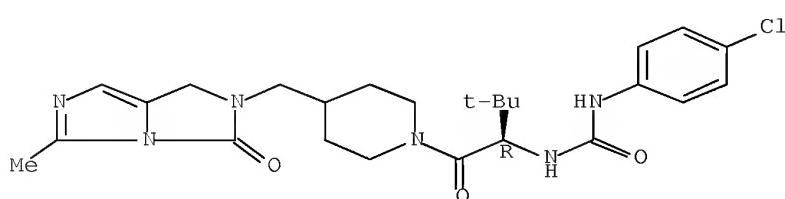
AB The title compds. I [Cy represents an optionally substituted arom. hydrocarbon group or optionally substituted arom. heterocyclic group; R1 represents hydrogen or an optionally substituted hydrocarbon group; V represents C(O), S(O), or S(O)2; W represents N(R2), O, or a bond (R2 represents hydrogen or an optionally substituted hydrocarbon group); X represents optionally substituted alkylene; Y represents C(O), S(O), or S(O)2; Z represents a bond, an optionally substituted chain hydrocarbon group, etc. ; ring A represents an optionally substituted nonarom. nitrogenous heterocycle; ring B represents an optionally substituted nitrogenous heterocycle; and the dotted line indicates a single bond or double bond; provided that R1 may be bonded to R2 to form an optionally substituted nonarom. nitrogenous heterocycle and that R2 may be bonded to a substituent of X to form an optionally substituted nonarom. nitrogenous heterocycle] are prepd. Thus, N-(4-chlorophenyl)-N'-(1R)-2,2-dimethyl-1-((3-(3-oxo-1H-imidazo[1,5-a]imidazol-2(3H)-yl)-1-pyrrolidinyl)carbonylpropyl)urea was prepd. in several steps from 1-benzyl-3-pyrrolidinamine and imidazole-2-carbaldehyde. Compds. of this invention in vitro showed IC₅₀ values of 10 nM to 50 nM against FXa. Formulations are given.

IT 856416-55-2P 856416-64-3P 856416-65-4P
 856416-66-5P 856416-67-6P 856416-76-7P
 856416-77-8P 856416-78-9P 856416-79-0P
 856416-80-3P 856416-81-4P 856417-03-3P
 856417-04-4P 856417-09-9P 856417-10-2P
 856417-11-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of urea derivs. as activated blood coagulation factor X inhibitors)

RN 856416-55-2 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(1R)-2,2-dimethyl-1-[(4-[(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)methyl]-1-piperidinyl)carbonyl]propyl]- (CA INDEX NAME)

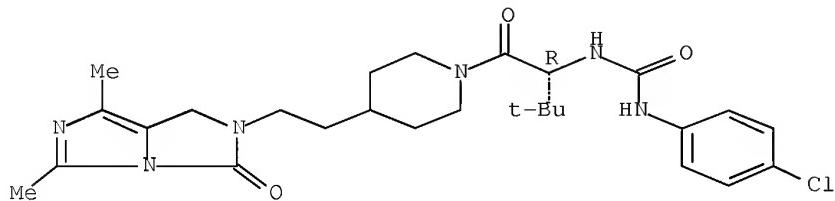
Absolute stereochemistry.



RN 856416-64-3 CAPLUS

CN Urea, N-(4-chlorophenyl)-N¹-[(1R)-1-[[4-[2-(5,7-dimethyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)ethyl]-1-piperidinyl]carbonyl]-2,2-dimethylpropyl]- (CA INDEX NAME)

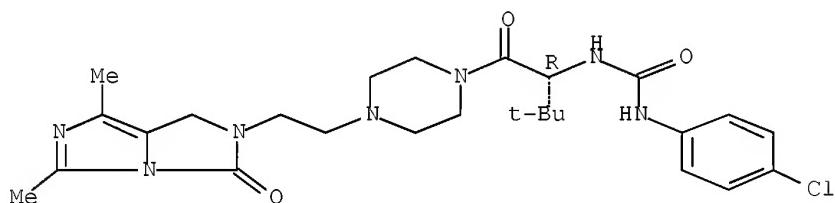
Absolute stereochemistry.



RN 856416-65-4 CAPLUS

CN Urea, N-(4-chlorophenyl)-N¹-[(1R)-1-[[4-[2-(5,7-dimethyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)ethyl]-1-piperazinyl]carbonyl]-2,2-dimethylpropyl]- (CA INDEX NAME)

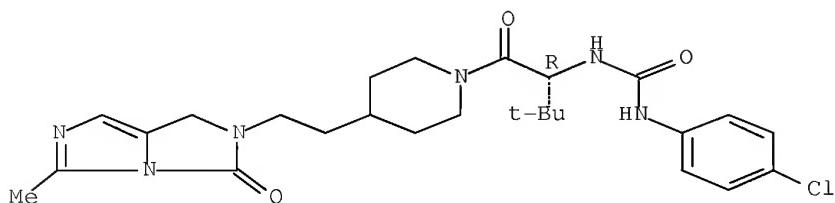
Absolute stereochemistry.



RN 856416-66-5 CAPLUS

CN Urea, N-(4-chlorophenyl)-N¹-[(1R)-2,2-dimethyl-1-[[4-[2-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)ethyl]-1-piperidinyl]carbonyl]propyl]- (CA INDEX NAME)

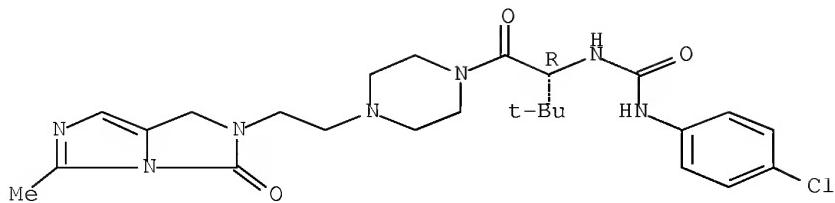
Absolute stereochemistry.



RN 856416-67-6 CAPLUS

CN Urea, N-(4-chlorophenyl)-N¹-[(1R)-2,2-dimethyl-1-[[4-[2-(5-methyl-3-oxo-1H-imidazo[1,5-c]imidazol-2(3H)-yl)ethyl]-1-piperazinyl]carbonyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

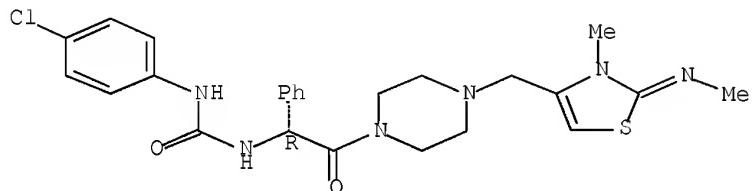


RN 856416-76-7 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(1R)-2-[4-[[2,3-dihydro-3-methyl-2-(methylimino)-4-thiazolyl]methyl]-1-piperazinyl]-2-oxo-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

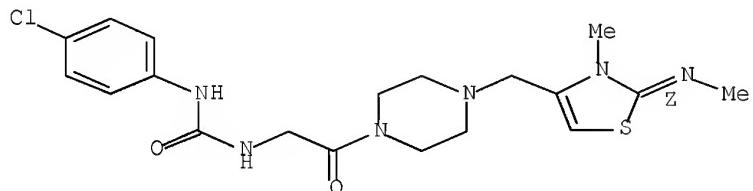
Double bond geometry unknown.



RN 856416-77-8 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-[2-[4-[(2Z)-2,3-dihydro-3-methyl-2-(methylimino)-4-thiazolyl]methyl]-1-piperazinyl]-2-oxoethyl]- (CA INDEX NAME)

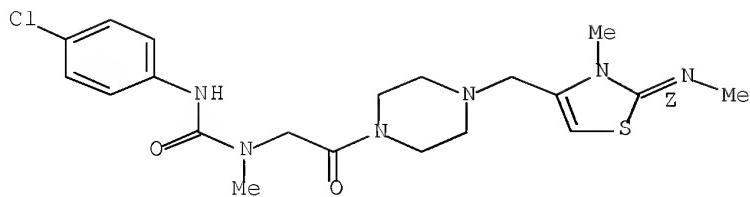
Double bond geometry as shown.



RN 856416-78-9 CAPLUS

CN Urea, N'-(4-chlorophenyl)-N-[2-[4-[(2Z)-2,3-dihydro-3-methyl-2-(methylimino)-4-thiazolyl]methyl]-1-piperazinyl]-2-oxoethyl]-N-methyl- (CA INDEX NAME)

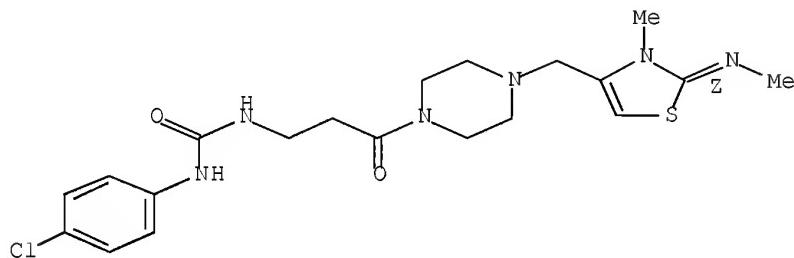
Double bond geometry as shown.



RN 856416-79-0 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(3-[4-[(2Z)-2,3-dihydro-3-methyl-2-(methylimino)-4-thiazolyl]methyl]-1-piperazinyl)-3-oxopropyl- (CA INDEX NAME)

Double bond geometry as shown.

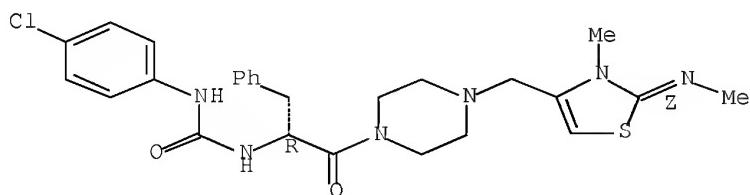


RN 856416-80-3 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(1R)-2-[4-[(2Z)-2,3-dihydro-3-methyl-2-(methylimino)-4-thiazolyl]methyl]-1-piperazinyl]-2-oxo-1-(phenylmethyl)ethyl- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

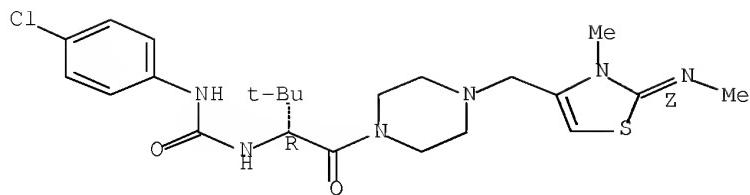


RN 856416-81-4 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(1R)-1-[4-[(2Z)-2,3-dihydro-3-methyl-2-(methylimino)-4-thiazolyl]methyl]-1-piperazinyl]carbonyl]-2,2-dimethylpropyl- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

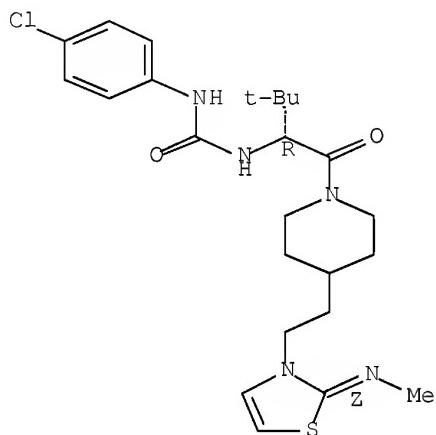


RN 856417-03-3 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(1*R*)-2,2-dimethyl-1-[4-[2-[(2*Z*)-2-(methylimino)-3(2*H*)-thiazolyl]ethyl]-1-piperidinyl]carbonyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

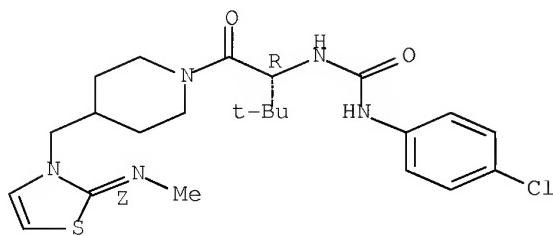


RN 856417-04-4 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(1*R*)-2,2-dimethyl-1-[4-[(2*Z*)-2-(methylimino)-3(2*H*)-thiazolyl]methyl]-1-piperidinyl]carbonyl]propyl]- (CA INDEX NAME)

Absolute stereochemistry.

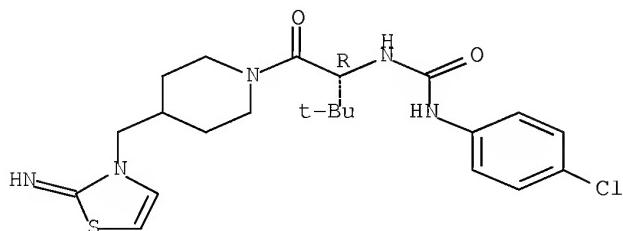
Double bond geometry as shown.



RN 856417-09-9 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(1R)-1-[[4-[(2-imino-3(2H)-thiazolyl)methyl]-1-piperidinyl]carbonyl]-2,2-dimethylpropyl- (CA INDEX NAME)

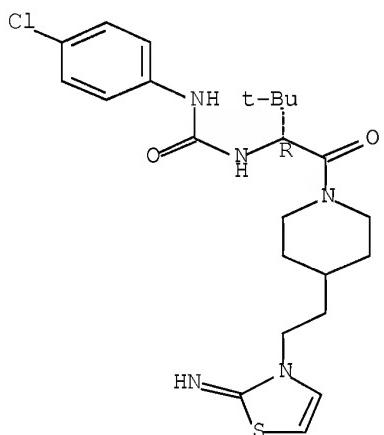
Absolute stereochemistry.



RN 856417-10-2 CAPLUS

CN Urea, N-(4-chlorophenyl)-N'-(1R)-1-[[4-[2-(2-imino-3(2H)-thiazolyl)ethyl]-1-piperidinyl]carbonyl]-2,2-dimethylpropyl- (CA INDEX NAME)

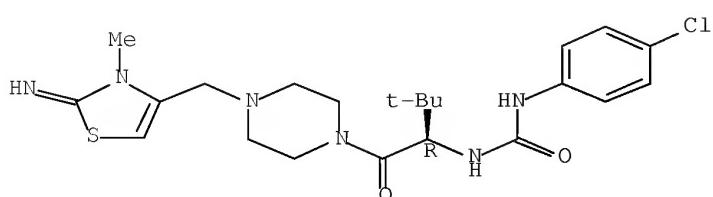
Absolute stereochemistry.



RN 856417-11-3 CAPLUS

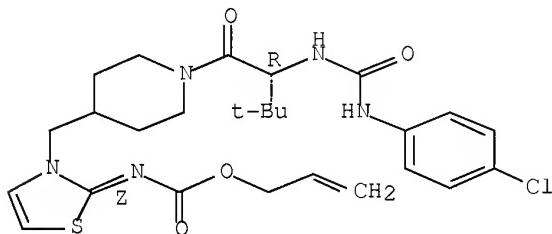
CN Urea, N-(4-chlorophenyl)-N'-(1R)-1-[[4-[(2,3-dihydro-2-imino-3-methyl-4-thiazolyl)methyl]-1-piperazinyl]carbonyl]-2,2-dimethylpropyl- (CA INDEX NAME)

Absolute stereochemistry.



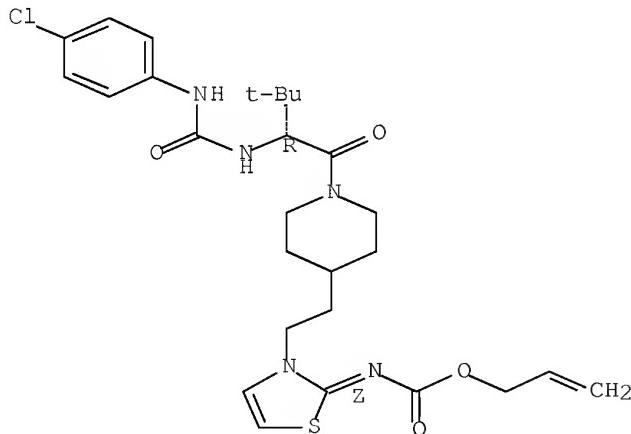
IT 856418-76-3P 856418-79-6P 856418-83-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of urea derivs. as activated blood coagulation factor X
 inhibitors)
 RN 856418-76-3 CAPLUS
 CN Carbamic acid, [3-[1-[(2R)-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3,3-
 dimethyl-1-oxobutyl]-4-piperidinyl]methyl]-2(3H)-thiazolylidene]-,
 2-propenyl ester, [N(Z)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



RN 856418-79-6 CAPLUS
 CN Carbamic acid, [3-[2-[1-[(2R)-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-
 3,3-dimethyl-1-oxobutyl]-4-piperidinyl]ethyl]-2(3H)-thiazolylidene]-,
 2-propenyl ester, [N(Z)]- (9CI) (CA INDEX NAME)

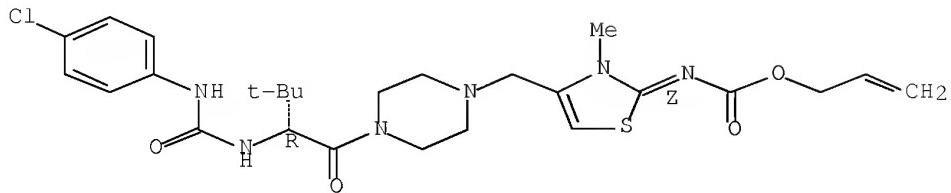
Absolute stereochemistry.
 Double bond geometry as shown.



RN 856418-83-2 CAPLUS
 CN Carbamic acid, [4-[[4-[(2R)-2-[[[(4-chlorophenyl)amino]carbonyl]amino]-3,3-
 dimethyl-1-oxobutyl]-1-piperazinyl]methyl]-3-methyl-2(3H)-thiazolylidene]-

, 2-propenyl ester, [N(Z)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

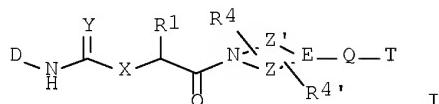


REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:540568 CAPLUS Full-text
DOCUMENT NUMBER: 143:78086
TITLE: Preparation of urea/carbamate derivatives as inhibitors of coagulation factor Xa for treatment of thromboembolic disorders
INVENTOR(S): Cezanne, Bertram; Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Gleitz, Johannes
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------|
| WO 2005056528 | A1 | 20050623 | WO 2004-EP13202 | 20041119 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG | | | | |
| DE 10358539 | A1 | 20050707 | DE 2003-10358539 | 20031215 |
| AU 2004296956 | A1 | 20050623 | AU 2004-296956 | 20041119 |
| CA 2549548 | A1 | 20050623 | CA 2004-2549548 | 20041119 |
| EP 1694643 | A1 | 20060830 | EP 2004-820053 | 20041119 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | | | | |
| CN 1890216 | A | 20070103 | CN 2004-80036500 | 20041119 |
| BR 2004017153 | A | 20070306 | BR 2004-17153 | 20041119 |
| JP 2007513987 | T | 20070531 | JP 2006-544246 | 20041119 |
| IN 2006KN01578 | A | 20070504 | IN 2006-KN1578 | 20060608 |

| | | | |
|------------------------|-------------|--------------------------------------|------------|
| MX 2006PA06593 | A 20060731 | MX 2006-PA6593 | 20060609 |
| KR 2006123305 | A 20061201 | KR 2006-711538 | 20060612 |
| US 20070123509 | A1 20070531 | US 2006-582850 | 20060614 |
| PRIORITY APPLN. INFO.: | | DE 2003-10358539 | A 30031215 |
| | | WO 2004-EP13202 | W 20041119 |
| OTHER SOURCE(S): GI | | CASREACT 143:78086; MARPAT 143:78086 | |



AB Title compds. I [D = halo, alkoxy, etc.; X = amino, O; Y = O, S, amino, etc.; R1 = H, aryl, heteroaryl, etc.; E = CH, N; Z, Z' = acyl, etc.; Q = O, amino, acyl, etc.; R4-4' = A, OH, alkoxy; T = (hetero)cyclyl, etc.] are prep'd. For instance, (R)-N-(4-chlorophenyl)-N'-(2-[4-(4-fluorophenyl)piperazin-1-yl]-2-oxo-1-phenylethyl)urea (II) is prep'd. in 3 steps from 1-methyl-4,4'-bipiperidinyl, (R)-N-(tert-butoxycarbonyl)phenylglycine and 4-chlorophenylisocyanate. II has IC50 = 6 x 10⁻⁹ M for Factor Xa. I are inhibitors of coagulation factor Xa and can be used for the prophylaxis and/or the treatment of thromboembolic diseases and for treating tumors.

IT 855524-66-2P 855524-86-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of urea/carbamate derivs. as inhibitors of coagulation factor Xa for treatment of thromboembolic disorders)

RN 855524-66-2 CAPLUS

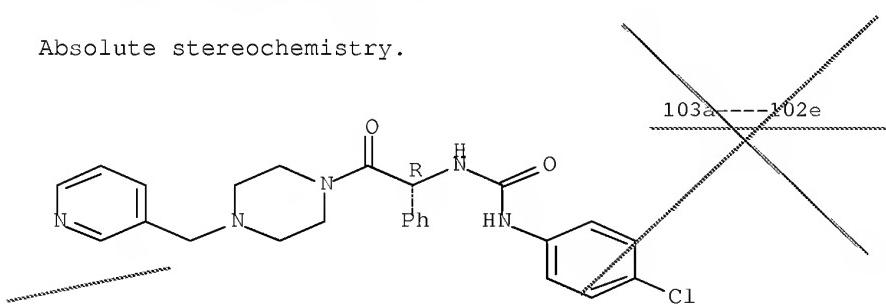
CN Urea, N-(4-chlorophenyl)-N'-(1R)-2-oxo-1-phenyl-2-[4-(3-pyridinylmethyl)-1-piperazinyl]ethyl-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 855524-65-1

CMF C25 H26 Cl N5 O2

Absolute stereochemistry.

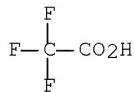


T can be piperazine [0103] Claim 19

CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 855524-86-6 CAPLUS

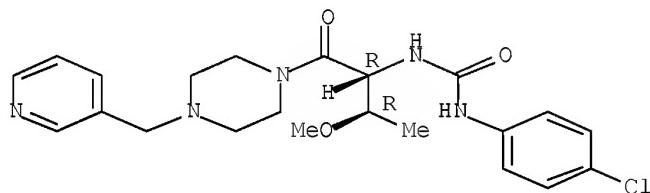
CN Urea, N-(4-chlorophenyl)-N'-(1R,2R)-2-methoxy-1-[4-(3-pyridinylmethyl)-1-piperazinyl]carbonylpropyl-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 855524-85-5

CMF C22 H28 Cl N5 O3

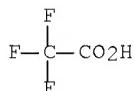
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:431398 CAPLUS Full-text

DOCUMENT NUMBER: 142:463595

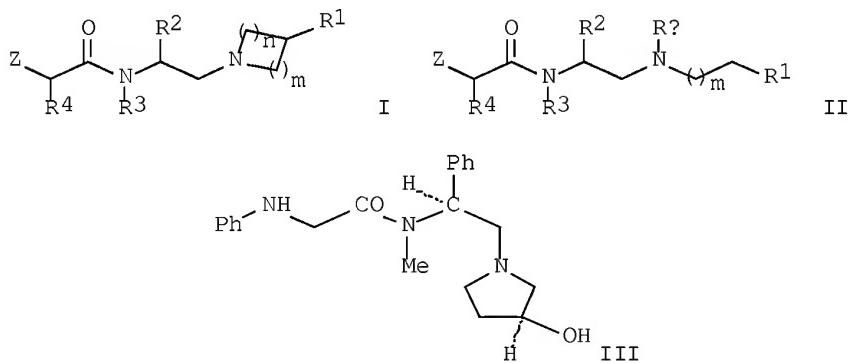
TITLE: Preparation of N-aminoalkyl amides as agonists of the .kappa. opioid receptor useful against gastrointestinal disorders, pain, and pruritus

INVENTOR(S): Dolle, Roland E.; Chu, Guo-Hua; Gu, Minghua

PATENT ASSIGNEE(S): Adolor Corporation, USA
 SOURCE: U.S. Pat. Appl. Publ., 46 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION: **previously cited ref**

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|---|----------|-----------------|---------------------|
| US 20050107355 | A1 | 20050519 | US 2003-713746 | 20031114 |
| US 7160902 | B2 | 20070109 | | |
| WO 2005049564 | A1 | 20050602 | WO 2004-US37955 | 20041112 |
| | | | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG | | | |

PRIORITY APPLN. INFO.: US 2003-713746 A 20031114
 OTHER SOURCE(S): CASREACT 142:463595; MARPAT 142:463595
 GI



AB Amide derivs. (shown as I and II; variables defined below; e.g. N-[2-((S)-3-hydroxypyrrolidin-1-yl)-(S)-1-phenylethyl]-N-methyl-2-phenylaminoacetamide (shown as III)) are disclosed. Pharmaceutical compns. contg. these compds., and methods for their use, inter alia, for treating and/or preventing gastrointestinal disorders, pain, and pruritus (no data) are also disclosed. Although the methods of prepns. are not claimed, 36 example prepns. are included. For example, III was prepnd. (45 %) by coupling of N-phenylglycine with N-[2-((S)-3-hydroxypyrrolidin-1-yl)-(S)-1-phenylethyl]-N-methylamine dihydrochloride. For I and II: R1 is H or OH; Ra is alkyl; R2 is alkyl, aryl, or aralkyl; R3 is alkyl, or R2 and R3 taken together with the atoms through

which they are connected form a 4- to 8-membered heterocyclic ring; R4 is H, alkyl, cycloalkyl, alkylcycloalkyl, aryl, aralkyl, heteroaryl, or heteroarylalkyl; Z is -(CH₂)_nNR₅R₆ or -(CH₂)_nC(:O)NR₇R₈; R₅ is H, alkyl, or aryl; R₆ is aryl, alkaryl, -CO(NH)pR₉, or -SO₂R₉, provided that at least one of R₅ and R₆ is other than aryl; R₇ is H or alkyl; R₈ is alkyl, aryl, aralkyl, alkaryl, heteroaryl, heteroarylalkyl, cycloalkyl or cycloalkylalkyl; R₉ is alkyl, cycloalkyl, alkylcycloalkyl, aryl, aralkyl, heteroaryl, or heteroarylalkyl; m is the integer 1, 2, or 3; n is the integer 1, 2, or 3; p is the integer 0 or 1; and the quantity (m+n) is an integer 2-5. Compds. in all the examples showed .kappa. receptor affinity (K₁) <10 .mu.M. For example, III had a K_i = 0.17 nM against the human .kappa. receptor with >100.times. selectivity vs. the human .mu. and .delta. receptors and was an agonist with an EC₅₀ = 0.05 nM. It exhibited a % A = 96.2% at a dose of 300 .mu.g, i.paw in the in vivo formalin-induced nociception assay. This compd. also blocked the action of HOAc-induced writhing when administered s.c. with an ED₅₀ = 0.017 mg/kg.

IT 851680-59-6P

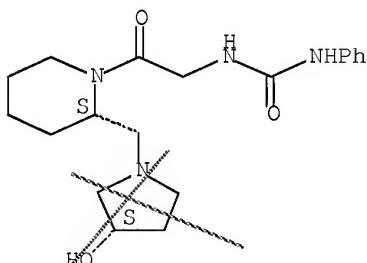
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of N-aminoalkyl amides as agonists of .kappa. opioid receptor useful against gastrointestinal disorders, pain, and pruritus)

RN 851680-59-6 CAPLUS

CN Urea, N-[2-[(2S)-2-[(3S)-3-hydroxy-1-pyrrolidinyl]methyl]-1-piperidinyl]-2-oxoethyl]-N'-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:911245 CAPLUS Full-text

DOCUMENT NUMBER: 134:71594

TITLE: Preparation of indolylimidazolones as protein kinase C inhibitors

INVENTOR(S): Karabelas, Kostas; Lepisto, Matti; Sjo, Peter

PATENT ASSIGNEE(S): AstraZeneca AB, Swed

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

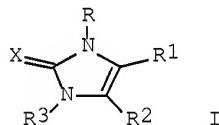
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

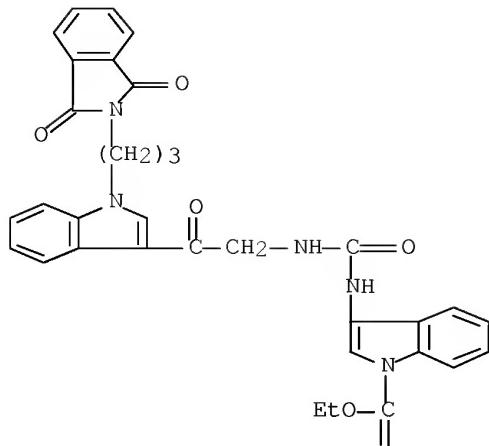
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2000078750 | A1 | 20001228 | WO 2000-SE1336 | 20000622 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1192150 | A1 | 20020403 | EP 2000-946631 | 20000622 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2003502420 | T | 20030121 | JP 2001-504916 | 20000622 |
| US 6492409 | B1 | 20021210 | US 2001-743618 | 20010112 |
| US 20030134886 | A1 | 20030717 | US 2002-288329 | 20021106 |
| PRIORITY APPLN. INFO.: | | | SE 1999-2387 | A 19990622 |
| | | | WO 2000-SE1336 | W 20000622 |
| | | | US 2001-743618 | A3 20010112 |

OTHER SOURCE(S) : MARPAT 134:71594

GI



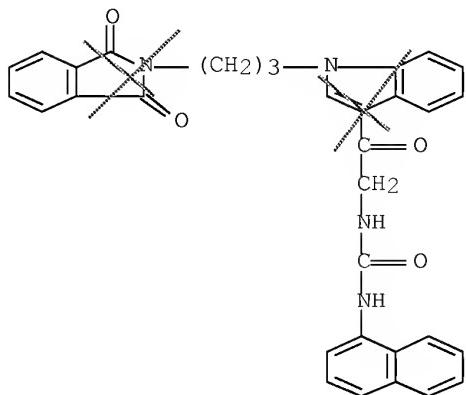
- AB Title compds. [I; R = H, OH, NH₂, (hydroxy)alkyl, aminoalkyl; R1 = H, (fluoro)alkyl, Ph, alkoxy carbonyl, etc.; 1 of R₂, R₃ = (un)substituted indolyl and the other =(hetero)aryl; X = O or S] were prep'd. as protein kinase C inhibitors (no data). Thus, 3-azidocarbonyl-1-ethoxycarbonylindole was condensed with 2-(3-indolyl)-2-oxoethylammonium bromide and the N-methylated product heated in HOAc to give, after deprotection I (R = R₁ = H, R₂ = 1-methyl-3-indolyl, R₃ = 3-indolyl).
- IT 228252-56-0P 228252-61-7P 228252-66-2P
228253-12-1P
- RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indolylimidazolones as protein kinase C inhibitors)
- RN 228252-56-0 CAPLUS
- CN 1H-Indole-1-carboxylic acid, 3-[[[[2-[1-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-1H-indol-3-yl]-2-oxoethyl]amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



II

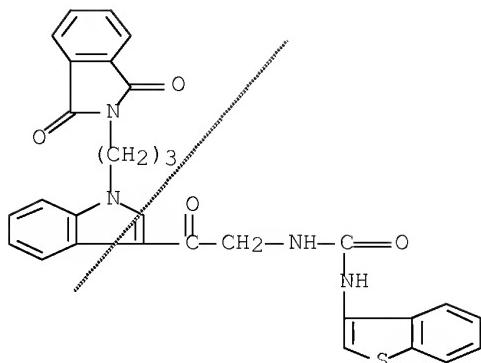
RN 228252-61-7 CAPLUS

CN Urea, N-[2-[1-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-1H-indol-3-yl]-2-oxoethyl]-N'-1-naphthalenyl- (CA INDEX NAME)

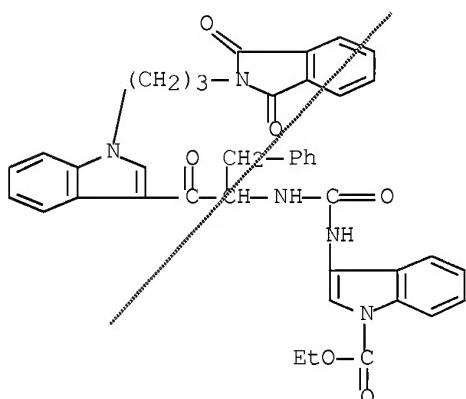


RN 228252-66-2 CAPLUS

CN Urea, N-benzo[b]thien-3-yl-N'-[2-[1-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-1H-indol-3-yl]-2-oxoethyl]- (CA INDEX NAME)



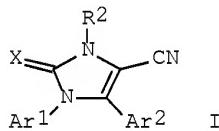
RN 228253-12-1 CAPLUS
 CN 1H-Indole-1-carboxylic acid, 3-[[[2-[1-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-1H-indol-3-yl]-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



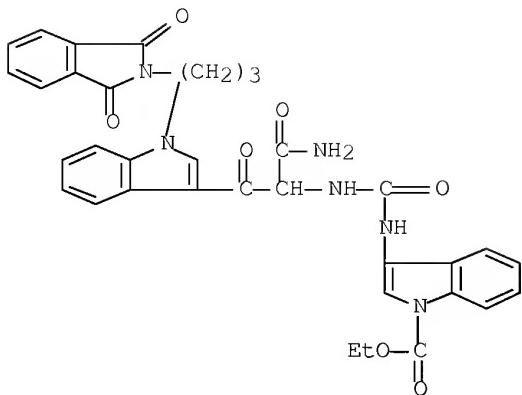
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2000:53618 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 132:107951
 TITLE: Preparation of imidazol-2-ones as new pharmaceutically active compounds
 INVENTOR(S): Karabelas, Kostas; Lepisto, Matti; Sjo, Peter
 PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.
 SOURCE: PCT Int. Appl., 98 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--------|------------|-----------------|------------|
| WO 2000002877 | A2 | 20000120 | WO 1999-SE1145 | 19990623 |
| WO 2000002877 | A3 | 20000413 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9949448 | A | 20000201 | AU 1999-49448 | 19990623 |
| EP 1095039 | A2 | 20010502 | EP 1999-933385 | 19990623 |
| EP 1095039 | B1 | 20030827 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI | | | | |
| JP 2002531382 | T | 20020924 | JP 2000-559107 | 19990623 |
| AT 248163 | T | 20030915 | AT 1999-933385 | 19990623 |
| US 6346625 | B1 | 20020212 | US 1999-403720 | 19991025 |
| PRIORITY APPLN. INFO.: | | | SE 1998-2538 | A 19980713 |
| | | | WO 1999-SE1145 | W 19990623 |
| OTHER SOURCE(S):
GI | MARPAT | 132:107951 | | |

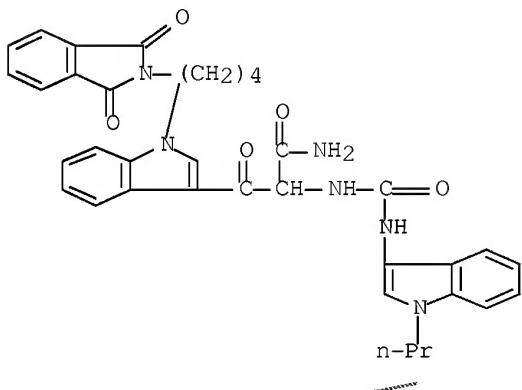


- AB The title compds. [I; Ar1 or Ar2 is (un)substituted indole, and the other group is (un)substituted arom. or heteroarom. group, preferably (un)substituted indole; X = O, S; R2 = H, OH, NH2, etc.] and their salts, useful as kinase inhibitors, esp. PKC inhibitors (no data), were prep'd. E.g., a multi-step synthesis of I [Ar1 = 3-indolyl; Ar2 = 1-(3-aminopropyl)-3-indolyl; R2 = H; X = O] was given.
- IT 255371-76-7P 255371-87-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of imidazol-2-ones as new pharmaceutically active compds.)
- RN 255371-76-7 CAPLUS
- CN 1H-Indole-1-carboxylic acid, 3-[[[1-(aminocarbonyl)-2-[1-[3-(1,3-dihydro-1,3-dioxo-2H-isindol-2-yl)propyl]-1H-indol-3-yl]-2-oxoethyl]amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



RN 255371-87-0 CAPLUS

CN 1H-Indole-3-propanamide, 1-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butyl]-.beta.-oxo-.alpha.-[(1-propyl-1H-indol-3-yl)amino]carbonyl]amino]- (CA INDEX NAME)



REFERENCE COUNT:

1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:421684 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 131:58823

TITLE: Protein kinase C inhibiting indolylimidazolones

INVENTOR(S): Karabelas, Kostas; Lepisto, Matti; Sjo, Peter

PATENT ASSIGNEE(S): Astra Aktiebolag, Swed.

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND DATE

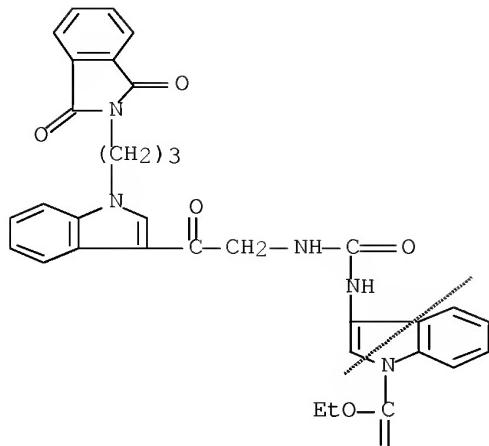
APPLICATION NO.

DATE

| ----- | ----- | ----- | ----- | ----- |
|---|-------|------------------|----------------|------------|
| WO 9932483 | A1 | 19990701 | WO 1998-SE2300 | 19981214 |
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DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| ZA 9811285 | A | 19990623 | ZA 1998-11285 | 19981209 |
| AU 9920801 | A | 19990712 | AU 1999-20801 | 19981214 |
| EP 1042317 | A1 | 20001011 | EP 1998-965324 | 19981214 |
| EP 1042317 | B1 | 20030514 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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| JP 2001526287 | T | 20011218 | JP 2000-525420 | 19981214 |
| AT 240317 | T | 20030515 | AT 1998-965324 | 19981214 |
| US 6337342 | B1 | 20020108 | US 1999-230710 | 19990129 |
| PRIORITY APPLN. INFO.: | | | SE 1997-4874 | A 19971223 |
| | | | SE 1998-2539 | A 19980713 |
| | | | WO 1998-SE2300 | W 19981214 |
| OTHER SOURCE(S): | | MARPAT 131:58823 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

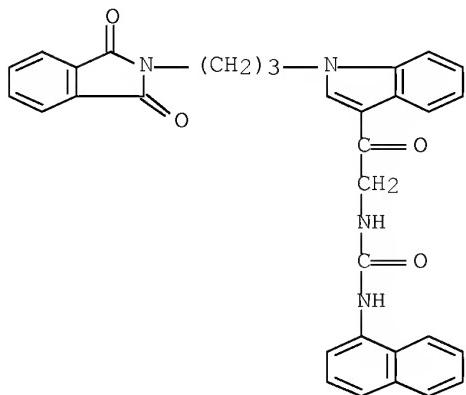
- AB The indolylimidazolones I [Ar = arom. or heteroarom. group; R1 = H, alkyl, fluoro-substituted alkyl, Ph, benzyl, alkoxy carbonyl, carbamoyl, methyl(alkyl carbamoyl); R2 = H, alkyl, amino alkyl, hydroxy alkyl, mono alkyl amino alkyl, dialkyl amino alkyl, (amino alkyl phenyl) alkyl, amidino thio alkyl; R3 = H, alkoxy; R4 = H or R2R4 = annulated ring substituted by hydroxy alkyl, amidino thio alkyl, amino alkyl] and their pharmaceutically acceptable salts were prep'd. as protein kinase C inhibitors with use in the treatment of inflammatory, immunol., bronchopulmonary, cardiovascular, oncol., or CNS-degenerative disorders (no data). Thus, condensation of 3-(azidocarbonyl)-1-(ethoxycarbonyl)indole with 3-(amino acetyl)indole gave the ureidoindole II which underwent successive methylation, cyclocondensation in HOAc at 110.degree., and deblocking to give the indolylimidazolone III.
- IT 228252-56-0P 228252-61-7P 228252-66-2P
228253-12-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of protein kinase C inhibiting diindolylimidazolones)
- RN 228252-56-0 CAPLUS
- CN 1H-Indole-1-carboxylic acid, 3-[[[2-[1-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-1H-indol-3-yl]-2-oxoethyl]amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



II

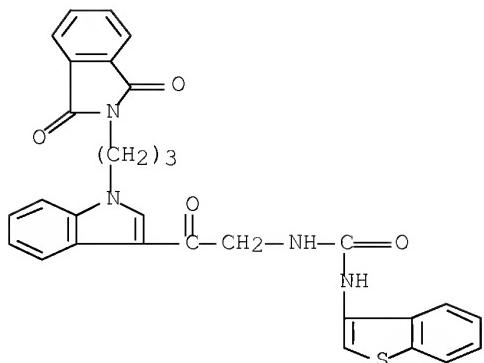
RN 228252-61-7 CAPLUS

CN Urea, N-[2-[1-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-1H-indol-3-yl]-2-oxoethyl]-N'-1-naphthalenyl- (CA INDEX NAME)



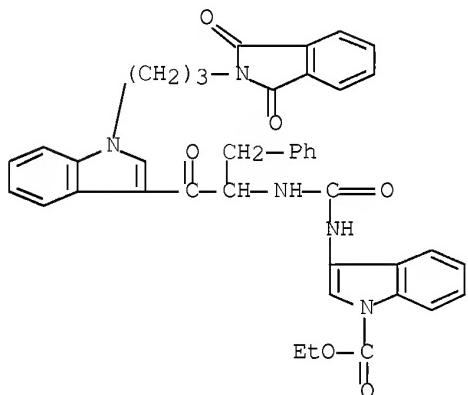
RN 228252-66-2 CAPLUS

CN Urea, N-benzo[b]thien-3-yl-N'-[2-[1-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-1H-indol-3-yl]-2-oxoethyl]- (CA INDEX NAME)



RN 228253-12-1 CAPLUS

CN 1H-Indole-1-carboxylic acid, 3-[[[2-[1-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-1H-indol-3-yl]-2-oxo-1-(phenylmethyl)ethyl]amino]carbonyl]amino]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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Executing the logoff script...

=> LOG H

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY

34.84

SESSION

228.14

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

CA SUBSCRIBER PRICE

| ENTRY | SESSION |
|-------|---------|
| -4.92 | -4.92 |

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 13:49:08 ON 08 JAN 2009